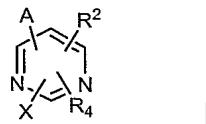


WHAT IS CLAIMED IS:

1. A compound of Formula I:



- 5 wherein X is aryl substituted by R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} ;
 wherein A is selected from the group consisting of cycloalkyl,
 cycloalkenyl, aryl, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein
 A is optionally substituted by one or more substituents independently selected
 from the group consisting of R^3 ;
- 10 wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , R^{1e} , and R^3 are independently selected from the
 group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl,
 hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxy carbonyl, haloalkoxy, aryl, alkenyl,
 heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino, $-OR^{10}$, $-SR^{7a}$,
 $-SO_2N(R^{7a})R^{7b}$, $-NR^{8a}R^{8b}$, $-NR^{8a}COR^{8c}$, $-NR^{8a}CO(OR^{8c})$, $-NR^{8a}SO_2R^{9a}$,

15 $-NR^{8a}SO_2N(R^{9a})R^{9b}$, $-NR^{8a}CON(R^{9a})R^{9b}$, $-COR^{8a}$, $-CO_2R^{7a}$, and $-CON(R^{7a})R^{7b}$,
 wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl
 may be substituted with one or more substituents selected from the group
 consisting of R^{8a} ;

20 wherein R^2 is $-NR^{11a}R^{11b}$;
 wherein R^4 is selected from the group consisting of cyano, $-CO_2R^{5a}$, and
 $-CH_2OR^{5a}$, $CONR^{5a}R^{5b}$;
 wherein R^{5a} , R^{5b} , and R^6 are independently selected from the group
 consisting of hydrido, hydroxyl, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl;

25 wherein R^{7a} and R^{7b} are independently selected from the group consisting
 of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl,
 haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl,
 alkynyl, and heteroaralkyl;

wherein R^{8a} and R^{8b} are independently selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R^{8c} is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents

may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R^{9a} and R^{9b} are independently selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl,

5 aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;

10 wherein R¹⁰ is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

15 wherein R^{11a} and R^{11b} are independently selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

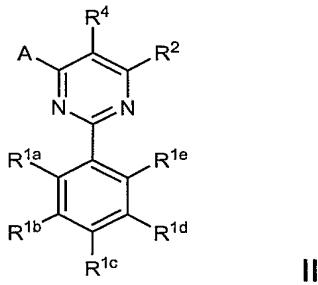
wherein R² and R⁴ may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and
20 NR⁶;

wherein R^{7a} and R^{7b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a}; and

25 wherein R^{9a} and R^{9b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a};

or a pharmaceutically acceptable salt thereof.

2. A compound of Formula II



wherein X is aryl substituted by R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e};

wherein A is selected from the group consisting of cycloalkyl,

cycloalkenyl, aryl, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein

- 5 A is optionally substituted by one or more substituents independently selected from the group consisting of R³;

wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, R^{1e}, and R³ are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxy carbonyl, haloalkoxy, aryl, alkenyl,

- 10 heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino, -OR¹⁰, -SR^{7a}, -SO₂N(R^{7a})R^{7b}, -NR^{8a}R^{8b}, -NR^{8a}COR^{8c}, -NR^{8a}CO(OR^{8c}), -NR^{8a}SO₂R^{9a}, -NR^{8a}SO₂N(R^{9a})R^{9b}, -NR^{8a}CON(R^{9a})R^{9b}, -COR^{8a}, -CO₂R^{7a}, and -CON(R^{7a})R^{7b}, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl may be substituted with one or more substituents selected from the group

- 15 consisting of R^{8a};

wherein R² is -NR^{11a}R^{11b};

wherein R⁴ is selected from the group consisting of cyano, -CO₂R^{5a}, and -CH₂OR^{5a}, CONR^{5a}R^{5b};

wherein R^{5a}, R^{5b}, and R⁶ are independently selected from the group

- 20 consisting of hydrido, hydroxyl, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl;

wherein R^{7a} and R^{7b} are independently selected from the group consisting of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl, alkynyl, and heteroaralkyl;

wherein R^{8a} and R^{8b} are independently selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R^{8c} is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents

may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R^{9a} and R^{9b} are independently selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl,

5 aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;

10 wherein R¹⁰ is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein R^{11a} and R^{11b} are independently selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein R² and R⁴ may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and 20 NR⁶;

wherein R^{7a} and R^{7b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a}; and

25 wherein R^{9a} and R^{9b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a};

or a pharmaceutically acceptable salt thereof.

3. A compound according to claim 2 wherein:

X is C_{5-12} aryl substituted by R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} ;

wherein A is selected from the group consisting of C_{3-12} cycloalkyl, C_{3-12} cycloalkenyl, C_{5-12} aryl, 5- to 12-membered heterocycloalkyl, 5- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein A is optionally

- 5 substituted by one or more substituents independently selected from the group consisting of R^3 ;

wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , R^{1e} , and R^3 are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} hydroxyalkyl, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, C_{2-7} alkoxy carbonyl, C_{1-6}

- 10 haloalkoxy, C_{5-12} aryl, C_{2-6} alkenyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, 5- to 12-membered heteroaryl, C_{2-10} acylamino, - OR^{10} , - SR^{7a} , - $SO_2N(R^{7a})R^{7b}$, - $NR^{8a}R^{8b}$, - $NR^{8a}COR^{8c}$, - $NR^{8a}CO(OR^{8c})$, - $NR^{8a}SO_2R^{9a}$, - $NR^{8a}SO_2N(R^{9a})R^{9b}$, - $NR^{8a}CON(R^{9a})R^{9b}$, - COR^{8a} , - CO_2R^{7a} , and - $CON(R^{7a})R^{7b}$, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl

- 15 moiety may be substituted with one or more substituents selected from the group consisting of R^{8a} ;

wherein R^4 is selected from the group consisting of cyano, - CO_2R^{5a} , and - CH_2OR^{5a} , $CONR^{5a}R^{5b}$;

wherein R^{5a} , R^{5b} , and R^6 are independently selected from the group

- 20 consisting of hydrido, hydroxyl, C_{1-6} alkoxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{5-12} aryl, and 5- to 12-membered heteroaryl;

wherein R^{7a} and R^{7b} are independently selected from the group consisting of hydrido, C_{5-12} aryl, 5- to 12-membered heteroaryl, C_{4-18} aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C_{1-6}

- 25 haloalkyl, C_{4-18} aralkylamino, C_{2-12} alkylaminoalkyl, N-N-di(C_{1-6} alkyl)amino(C_{1-6} alkyl), C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and 4- to 18-membered heteroaralkyl;

wherein R^{8a} and R^{8b} are independently selected from the group consisting of hydrido, C_{1-6} alkyl, C_{5-12} aryl, 5- to 12-membered heteroaryl, C_{4-18} aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C_{3-12}

cycloalkyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, amino, C₁₋₆ aminoalkyl, C₂₋₁₀ aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl,

5 hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ alkylsulfonamido, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, C₁₋₆ hydroxyalkoxy, N,N-di(C₁₋₆ alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, C₁₋₆ alkyldioxy, C₁₋₆

10 hydroxyalkyl, N-(C₁₋₆ alkyl)amino, C₂₋₇ alkoxycarbonyl, C₂₋₁₂ alkoxyalkyl, C₂₋₆ alkenylamino, C₂₋₆ alkynylamino, C₂₋₆ alkenyl, C₂₋₆ alkynyl, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or

15 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ aminoalkyl, C₁₋₆ hydroxyalkyl, and C₂₋₁₂ alkylaminoalkyl;

wherein R^{8c} is selected from the group consisting of hydrido, nitro, azido, C₁₋₆ alkyl, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₄₋₁₈ aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₃₋₁₂ cycloalkyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, amino, C₁₋₆ aminoalkyl, C₂₋₁₀ aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl,

20 hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ alkylsulfonamido, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, C₁₋₆ hydroxyalkoxy, N,N-di(C₁₋₆ alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, C₁₋₆ alkyldioxy, C₁₋₆

25 hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ alkylsulfonamido, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, C₁₋₆ hydroxyalkoxy, N,N-di(C₁₋₆ alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, C₁₋₆ alkyldioxy, C₁₋₆

hydroxyalkyl, N-(C₁₋₆ alkyl)amino, C₂₋₇ alkoxycarbonyl, C₂₋₁₂ alkoxyalkyl, C₂₋₆ alkenylamino, C₂₋₆ alkynylamino, C₂₋₆ alkenyl, C₂₋₆ alkynyl, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said

- 5 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ aminoalkyl, C₁₋₆ hydroxyalkyl, and C₂₋₁₂ alkylaminoalkyl;

wherein R^{9a} and R^{9b} are independently selected from the group consisting of hydrido, C₁₋₆ alkyl, 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, 4- to 18-membered heteroaralkyl, C₅₋₁₂ aryl, and C₄₋₁₈ aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moiety may be substituted with one or more radicals selected from the group

- 15 consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halo, C₁₋₆ haloalkyl, cyano, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, carboxyl, hydroxy, C₁₋₆ hydroxyalkoxy, phenoxy, benzyloxy, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heterocycloalkenyl;

wherein R¹⁰ is selected from the group consisting of hydrido, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ hydroxyalkyl, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₂₋₁₂ alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

- wherein R^{11a} and R^{11b} are independently selected from the group
- 25 consisting of hydrido, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ hydroxyalkyl, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, C₂₋₁₂ alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

wherein R² and R⁴ may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR⁶;

5 wherein R^{7a} and R^{7b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a}; and

 wherein R^{9a} and R^{9b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a};

10 or a pharmaceutically acceptable salt thereof.

4. A compound according to claim 3 wherein

X is selected from the group consisting of phenyl, biphenyl, naphthyl, and indenyl, wherein X is substituted by R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e};

15 wherein A is selected from the group consisting of cyclopentyl, cyclohexyl, cycloheptyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, phenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, dihydropyridinyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, 20 dihydroisoxazolyl, dihydrooxazolyl, and pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, wherein A is optionally substituted by one or more substituents independently selected from the group consisting of R³;

25 wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, R^{1e}, and R³ are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl,

butylsulfonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl,
butoxycarbonyl, chloromethoxy, dichloromethoxy, trichloromethoxy,
fluoromethoxy, difluoromethoxy, trifluoromethoxy, phenyl, biphenyl, naphthyl,
indenyl, ethenyl, propenyl, butenyl, pentenyl, piperidinyl, pyrrolidinyl,
5 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,
dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,
dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,
benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methylcarbonylamino,
10 ethylcarbonylamino, propylcarbonylamino, butylcarbonylamino,
pentylcarbonylamino, hexylcarbonylamino, phenylcarbonylamino,
benzylcarbonylamino, -OR¹⁰, -SR^{7a}, -SO₂N(R^{7a})R^{7b}, -NR^{8a}R^{8b}, -NR^{8a}COR^{8c},
-NR^{8a}CO(OR^{8c}), -NR^{8a}SO₂R^{9a}, -NR^{8a}SO₂N(R^{9a})R^{9b}, -NR^{8a}CON(R^{9a})R^{9b}, -COR^{8a},
-CO₂R^{7a}, and -CON(R^{7a})R^{7b}, wherein said phenyl, biphenyl, naphthyl, indenyl,
15 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl,
isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl,
dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl,
thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
20 ethenyl, propenyl, butenyl, or pentenyl may be substituted with one or more
substituents selected from the group consisting of R^{8a};
wherein R⁴ is selected from the group consisting of cyano, -CO₂R^{5a}, and
-CH₂OR^{5a}, CONR^{5a}R^{5b};
wherein R^{5a}, R^{5b}, and R⁶ are independently selected from the group
25 consisting of hydrido, hydroxyl, methoxy, ethoxy, propoxy, butoxy, methyl, ethyl,
propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl,
fluoromethyl, difluoromethyl, trifluoromethyl, phenyl, biphenyl, naphthyl, indenyl,
pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;

wherein R^{7a} and R^{7b} are independently selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, 5 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, methylaminomethyl, 10 ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, N,N-dimethylaminomethyl, N,N-dimethylaminoethyl, N- 15 methyl-N-ethylaminomethyl, N-methyl-N-ethylaminoethyl, N-methyl-N-propylaminomethyl, N-methyl-N-propylaminoethyl, N,N-diethylaminomethyl, N,N-diethylaminoethyl, N-ethyl-N-propylaminomethyl, N-ethyl-N-propylaminoethyl, N,N-dipropylaminomethyl, N,N-dipropylaminoethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, 20 pentynyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolymethyl, indolylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, 25 isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl;

wherein R^{8a} and R^{8b} are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl,

pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl,

5 dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl,

10 aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl,

15 pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,

20 pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl,

25 pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido, propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl,

methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,
ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl,
propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl,
methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl,
5 ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, chloro,
fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy,
butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy,
benzylcarbonyloxy, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl,
difluoromethyl, trifluoromethyl, chloromethoxy, dichloromethoxy,
10 trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy,
methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl,
hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy,
hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, N,N-
dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-
15 dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-
N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-
propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-
propylaminophenylcarbonyl, N,N-diethylaminomethylcarbonyl, N,N- /
diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-
20 propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-
propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-
dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl,
thioethyl, thiopropyl, thiobutyl, thiopentyl, thiohexyl, aminomethylcarbonyloxy,
aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy,
25 aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy,
aminobenzylcarbonyloxy, methyldioxy, ethyldioxy, propyldioxy, butyldioxy,
pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl,
hydroxybutyl, hydroxypentyl, hydroxyhexyl, N-methylamino, N-ethylamino, N-
propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl,

butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl,
ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl,
butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino,
butenylamino, pentenylamino, ethynylamino, propynylamino, butynylamino,
5 pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl,
pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-
ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-
propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-
diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,
10 N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-
dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,
isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,
dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,
dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl,
15 indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,
isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl,
pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,
dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,
dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,
20 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted
with a substituent selected from the group consisting of methyl, ethyl, propyl,
butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl,
aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl,
25 hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl,
methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,
ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl,
propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl,

methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R^{8c} is selected from the group consisting of hydrido, nitro, azido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl,

- 5 pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, 10 dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, 15 aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolymethyl, indolethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, 20 pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, 25 oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio,

propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl,
methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-
ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido,
5 propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl,
aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl,
propylaminomethyl, methylaminooethyl, ethylaminoethyl, propylaminoethyl,
methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl,
ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl,
propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl,
10 methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo,
methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy,
pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy,
chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl,
trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy,
15 fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl,
propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl,
benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy,
hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-
dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-
20 ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-
ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-
propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-
diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-
diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-
25 propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-
dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-
dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl,
thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy,
aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy,

aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy,
methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy,
hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl,
hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl,
5 ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl,
methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl,
propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl,
butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino,
ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl,
10 butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-
dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-
ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-
propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-
diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,
15 N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-
dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,
isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,
dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,
dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl,
20 indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,
isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl,
pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,
dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,
dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,
25 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted
with a substituent selected from the group consisting of methyl, ethyl, propyl,
butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl,
aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl,

- hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl,
methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,
ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl,
propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl,
5 methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl,
ethylaminohexyl, and propylaminohexyl;
- wherein R^{9a} and R^{9b} are independently selected from the group consisting
of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, pyridinyl, benzothiophenyl,
indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,
10 isoxazolyl, oxazolyl, isoindoledionyl, piperidinyl, pyrrolidinyl, pyrazolidinyl,
imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,
dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,
dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl,
dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl,
15 benzylamino, phenylethylamino, pyridinylmethyl, pyridinylethyl,
benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethyl,
isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl,
thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl,
pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl,
20 isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl,
isoindoledionylmethyl, isoindoledionylethyl, phenyl, biphenyl, naphthyl, indenyl,
benzyl, and phenylethyl, wherein said phenyl, biphenyl, naphthyl, indenyl,
piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl,
isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
25 dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl,
dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl,
thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
benzyl, or phenylethyl may be substituted with one or more radicals selected
from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, methoxy,

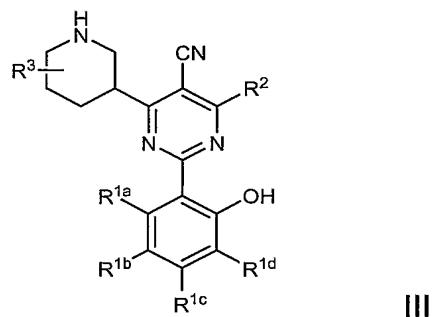
- ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl,
- 5 propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, phenoxy, benzyloxy, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-
- 10 propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
- 15 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;
- wherein R¹⁰ is selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl,
- 20 hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl,
- 25

- propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl,
methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxymethyl,
methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl,
propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl,
5 butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl,
oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl,
pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and
10 dihydrooxazolyl;
- wherein R^{11a} and R^{11b} are independently selected from the group
consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl,
benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,
pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl,
15 butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl,
difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl,
propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl,
hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl,
aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl,
20 ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl,
propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl,
methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl,
ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl,
propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, methoxymethyl,
25 methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl,
propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl,
butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl,
oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl,
pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,

isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

- wherein R² and R⁴ may form a 4- to 6-membered heterocyclic ring having
 5 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR⁶;
 wherein R^{7a} and R^{7b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a}; and
 10 wherein R^{9a} and R^{9b} may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO₂, O, N, and NR^{8a};
 or a pharmaceutically acceptable salt thereof.

15 5. A compound of Formula III



- wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R³ are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxy carbonyl, haloalkoxy, aryl, alkenyl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino, -OR¹⁰, -SR⁷, -SO₂NHR⁷, -NHR^{8a}, -NR^{8a}COR^{8c}, -NR^{8a}CO(OR^{8c}), -NR^{8a}SO₂R⁹, -NR^{8a}SO₂NHR⁹, -NR^{8a}CONHR⁹, -COR^{8a}, -CO₂R⁷, and -CONHR⁷, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl may be substituted with one or more substituents selected from the group consisting of R^{8a};

wherein R² is -NHR¹¹;

wherein R⁷ is selected from the group consisting of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl, alkynyl, and heteroaralkyl;

5 wherein R^{8a} is selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, 15 alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

20 wherein R^{8b} is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, 25 cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkyldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl,

alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl,

- 5 N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;
wherein R⁹ is selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or
10 more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;
and
wherein R¹⁰ is selected from the group consisting of hydrido, aryl,
15 heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;
wherein R¹¹ is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl,
20 alkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;
or a pharmaceutically acceptable salt thereof.

6. A compound according to claim 5 wherein

- 25 R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R³ are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₁₋₆ hydroxyalkyl, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, C₂₋₇ alkoxy carbonyl, C₁₋₆ haloalkoxy, C₅₋₁₂ aryl, C₂₋₆ alkenyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, 5- to 12-membered heteroaryl, C₂₋₁₀ acylamino,

-OR¹⁰, -SR⁷, -SO₂NHR⁷, -NHR^{8a}, -NR^{8a}COR^{8b}, -NR^{8a}CO(OR^{8b}), -NR^{8a}SO₂R⁹, -NR^{8a}SO₂NHR⁹, -NR^{8a}CONHR⁹, -COR^{8a}, -CO₂R⁷, and -CONHR⁷, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl moiety may be substituted with one or more substituents selected from the group consisting of

5 R^{8a};

wherein R⁷ is selected from the group consisting of hydrido, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₄₋₁₈ aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, C₂₋₁₂ alkylaminoalkyl, N-N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkyl), C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, and 4- to 18-membered heteroaralkyl;

10 wherein R^{8a} is selected from the group consisting of hydrido, C₁₋₆ alkyl, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₄₋₁₈ aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₃₋₁₂ cycloalkyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, amino, C₁₋₆ aminoalkyl, C₂₋₁₀ aminoacyl, and 4- to 18-

15 membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ alkylsulfonamido, C₁₋₆

20 aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, C₁₋₆ hydroxyalkoxy, N,N-di(C₁₋₆ alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, C₁₋₆ alkyldioxy, C₁₋₆ hydroxyalkyl, N-(C₁₋₆ alkyl)amino, C₂₋₇ alkoxycarbonyl, C₂₋₁₂ alkoxyalkyl, C₂₋₆ alkenylamino, C₂₋₆ alkynylamino, C₂₋₆ alkenyl, C₂₋₆ alkynyl, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered

25 heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ aminoalkyl, C₁₋₆ hydroxyalkyl, and C₂₋₁₂ alkylaminoalkyl;

wherein R^{8b} is selected from the group consisting of hydrido, nitro, azido, C₁₋₆ alkyl, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₄₋₁₈ aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₃₋₁₂ cycloalkyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, amino, C₁₋₆ aminoalkyl, C₂₋₁₀ 5 aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C₁₋₆ alkyl, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ 10 alkylsulfonamido, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, halo, C₂₋₁₀ acyloxy, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, C₁₋₆ hydroxyalkoxy, N,N-di(C₁₋₆ 15 alkyl)amino(C₂₋₁₀ acyl), C₁₋₆ thioalkyl, C₂₋₁₀ aminoacyloxy, C₁₋₆ alkylidioxy, C₁₋₆ hydroxyalkyl, N-(C₁₋₆ alkyl)amino, C₂₋₇ alkoxycarbonyl, C₂₋₁₂ alkoxyalkyl, C₂₋₆ alkenylamino, C₂₋₆ alkynylamino, C₂₋₆ alkenyl, C₂₋₆ alkynyl, N,N-di(C₁₋₆ 20 20 alkyl)amino(C₁₋₆ alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C₁₋₆ alkyl, N-(C₁₋₆ alkyl)amino, C₁₋₆ aminoalkyl, C₁₋₆ hydroxyalkyl, and C₂₋₁₂ alkylaminoalkyl;

wherein R⁹ is selected from the group consisting of hydrido, C₁₋₆ alkyl, 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C₁₋₆ haloalkyl, C₄₋₁₈ aralkylamino, 4- to 18-membered heteroaralkyl, C₅₋₁₂ aryl, and C₄₋₁₈ aralkyl, wherein said aryl, 25 heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moiety may be substituted with one or more radicals selected from the group consisting of C₁₋₆ alkyl, C₁₋₆ alkoxy, halo, C₁₋₆ haloalkyl, cyano, C₁₋₆ haloalkoxy, C₂₋₁₀ acyl, carboxyl, hydroxy, C₁₋₆ hydroxyalkoxy, phenoxy, benzyloxy, N,N-di(C₁₋₆ alkyl)amino(C₁₋₆

alkoxy), 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heterocycloalkenyl;

wherein R¹⁰ is selected from the group consisting of hydrido, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ hydroxyalkyl, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₂₋₁₂ alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

wherein R¹¹ is selected from the group consisting of hydrido, C₅₋₁₂ aryl, 5- to 12-membered heteroaryl, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ hydroxyalkyl, C₁₋₆ aminoalkyl, C₂₋₁₂ alkylaminoalkyl, C₁₋₆ alkoxy, C₂₋₁₂ alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

or a pharmaceutically acceptable salt thereof.

15 7. A compound according to claim 6 wherein

R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R³ are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, phenyl, biphenyl, naphthyl, indenyl, ethenyl, propenyl, butenyl, pentenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,

pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methylcarbonylamino, ethylcarbonylamino, propylcarbonylamino, butylcarbonylamino, pentylcarbonylamino, hexylcarbonylamino, phenylcarbonylamino, benzylcarbonylamino, -OR¹⁰, -SR⁷, -SO₂NHR⁷, -NHR^{8a}, -NR^{8a}COR^{8b}, 5 -NR^{8a}CO(OR^{8b}), -NR^{8a}SO₂R⁹, -NR^{8a}SO₂NHR⁹, -NR^{8a}CONHR⁹, -COR^{8a}, -CO₂R⁷, and -CONHR⁷, wherein said phenyl, biphenyl, naphthyl, indenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, 10 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, ethenyl, propenyl, butenyl, or pentenyl may be substituted with one or more substituents selected from the group consisting of R^{8a};

wherein R⁷ is selected from the group consisting of hydrido, phenyl, 15 biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, 20 dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, 25 ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, N,N-dimethylaminomethyl, N,N-dimethylaminoethyl, N-methyl-N-ethylaminomethyl, N-methyl-N-ethylaminoethyl, N-methyl-N-propylaminomethyl, N-methyl-N-propylaminoethyl, N,N-diethylaminomethyl, N,N-diethylaminoethyl, N-ethyl-N-

propylaminomethyl, N-ethyl-N-propylaminoethyl, N,N-dipropylaminomethyl, N,N-dipropylaminoethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl,

- 5 indolylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl;

10 wherein R^{8a} is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, 15 isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, 20 aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolylethyl, isoquinolinylmethyl, 25 isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl,

phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or
5 more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-
10 ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido, propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminooethyl, ethylaminooethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl,
15 ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy,
20 chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy,
25 hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-

diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-
diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-
propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-
dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-
5 dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl,
thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy,
aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy,
aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy,
methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy,
10 hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl,
hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl,
ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl,
methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl,
propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl,
15 butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino,
ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl,
butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-
dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-
ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-
20 propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-
diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,
N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-
dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,
isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,
25 dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,
dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl,
indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,
isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl,
pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,

dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted
5 with a substituent selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,
10 ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R^{8b} is selected from the group consisting of hydrido, nitro, azido,
15 methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
20 dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl,
25 aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl,

pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl,
pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl,
isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and
isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl,
5 phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl,
isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl,
oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl,
aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or
more substituents selected from the group consisting of oxy, formyl, cyano,
10 carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate,
isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio,
propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl,
methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-
ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido,
15 propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl,
aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl,
propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl,
methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl,
ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl,
20 propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl,
methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo,
methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy,
pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy,
chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl,
25 trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy,
fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl,
propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl,
benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy,
hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-

dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-

5 diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl,

10 thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy, methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl,

15 hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino,

20 ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-

25 diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,

dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, 5 dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted with a substituent selected from the group consisting of methyl, ethyl, propyl, 10 butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, 15 propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R⁹ is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, 20 quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, 25 benzylamino, phenylethylamino, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl,

pyrazolylmethyl, pyrazoleethyl, imidazolylmethyl, imidazoleethyl,
isoxazolylmethyl, isoxazoleethyl, oxazolylmethyl, oxazoleethyl,
isoindoledionylmethyl, isoindoledionylethyl, phenyl, biphenyl, naphthyl, indenyl,
benzyl, and phenylethyl, wherein said phenyl, biphenyl, naphthyl, indenyl,
5 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl,
isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl,
dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl,
thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
10 benzyl, or phenylethyl may be substituted with one or more radicals selected
from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, methoxy,
ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, chloromethyl,
dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl,
cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy,
15 difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl,
propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl,
benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy,
hydroxypropoxy, hydroxybutoxy, phenoxy, benzyloxy, N,N-
dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-
20 ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-
propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-
diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,
N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-
dipropylaminoethoxy, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl,
25 thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl,
isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,
dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and
dihydrooxazolyl;

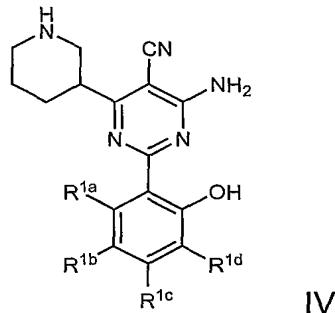
wherein R¹⁰ is selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, 5 dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, 10 methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, 15 propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, 20 isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

wherein R¹¹ is selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, 25 dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl,

aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl,
5 methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl,
10 isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;
or a pharmaceutically acceptable salt thereof.

15

8. A compound of Formula IV



wherein R^{1a}, R^{1b}, R^{1c}, and R^{1d} are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, haloalkoxy, -OR¹⁰, -NHR⁸, -NHCOR⁸, -NHCO(OR⁸), -NHCONHR⁹, -COR⁸, -CO₂R⁷, and -CONHR⁷; and
20

wherein R⁷, R⁸, R⁹, and R¹⁰ are independently selected from the group consisting of hydrido, haloalkyl, alkyl, cycloalkyl, cycloalkylalkyl, and alkenyl; or a pharmaceutically acceptable salt thereof.

9. A compound according to claim 8 wherein

R^{1a} , R^{1b} , R^{1c} , and R^{1d} are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} hydroxyalkyl, C_{1-6} haloalkoxy, $-OR^{10}$, $-NHR^8$, $-NHCOR^8$, $-NHCO(OR^8)$, $-NHCONHR^9$, $-COR^8$, $-CO_2R^7$, and $-CONHR^7$; and

wherein R^7 , R^8 , R^9 , and R^{10} are independently selected from the group consisting of hydrido, C_{1-6} haloalkyl, C_{1-6} alkyl, C_{3-12} cycloalkyl, C_{4-18} cycloalkylalkyl, and C_{2-6} alkenyl;

10 or a pharmaceutically acceptable salt thereof.

10. A compound according to claim 9 wherein

R^{1a} , R^{1b} , R^{1c} , and R^{1d} are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, $-OR^{10}$, $-NHR^8$, $-NHCOR^8$, $-NHCO(OR^8)$, $-NHCONHR^9$, $-COR^8$, $-CO_2R^7$, and $-CONHR^7$; and

20 wherein R^7 , R^8 , R^9 , and R^{10} are independently selected from the group consisting of hydrido, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl, cyclobutylethyl, cyclopentylmethyl, cyclopentylethyl, cyclohexylmethyl, cyclohexylethyl, and ethenyl, propenyl, butenyl, and pentenyl;

or a pharmaceutically acceptable salt thereof.

11. A compound according to claim 1 selected from the group consisting of:

4-amino-2-(2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

5 4-amino-2-(5-chloro-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

10 4-amino-2-(3,5-dichloro-2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-methoxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2,5-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

15 4-amino-2-(2-fluoro-6-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-[2-hydroxy-5-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

20 4-amino-2-[2-hydroxy-4-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-propylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(2-hydroxy-6-isobutylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

25 4-amino-2-[2-hydroxy-6-(3-methylbutyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(5-bromo-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile; and

4-amino-2-(5-chloro-2-hydroxyphenyl)-6-(piperidin-3-yl)pyrimidine-5-carbonitrile;

and pharmaceutically-acceptable salts thereof.

5 12. A compound according to claim 11 selected from the group consisting of:

4-amino-2-(2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

10 4-amino-2-(5-chloro-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(3,5-dichloro-2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

15 4-amino-2-(2-hydroxy-6-methoxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2,5-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-fluoro-6-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-

20 carbonitrile hydrochloride;

4-amino-2-[2-hydroxy-5-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-propylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

25 4-amino-2-[2-hydroxy-6-(3-methylbutyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(5-bromo-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile; and

4-amino-2-(5-chloro-2-hydroxyphenyl)-6-(piperidin-3-yl)pyrimidine-5-carbonitrile;

and pharmaceutically-acceptable salts thereof.

5 13. A pharmaceutical composition comprising a compound according to any one of claims 1-12 or a pharmaceutically-acceptable salt thereof, and a pharmaceutically acceptable carrier, diluent, or adjuvant.

10 14. Use of a compound according to any one of claims 1-12 for the preparation of a medicament for the treatment of cancer, inflammation, or an inflammation-associated disorder in a subject.

15 15. A use according to claim 14 wherein said medicament is for the treatment of arthritis, cancer, asthma, COPD, frailty, diabetes, atherosclerosis, pain, and/or dermatological disease.